

10/622,655

=> d his

(FILE 'HOME' ENTERED AT 08:38:37 ON 14 JUL 2004)

FILE 'REGISTRY' ENTERED AT 08:38:41 ON 14 JUL 2004

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 0 S L1 SAM

L4 0 S L2 SAM

L5 17 S L1 FULL

L6 6 S L2 FULL

FILE 'CA' ENTERED AT 08:39:27 ON 14 JUL 2004

L7 5 S L5 OR L6

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 08:39:52 ON 14 JUL 2004

10/622,655

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 08:38:37 ON 14 JUL 2004

=> file reg

=>

Uploading 6.str

L1 STRUCTURE UPLOADED

=>

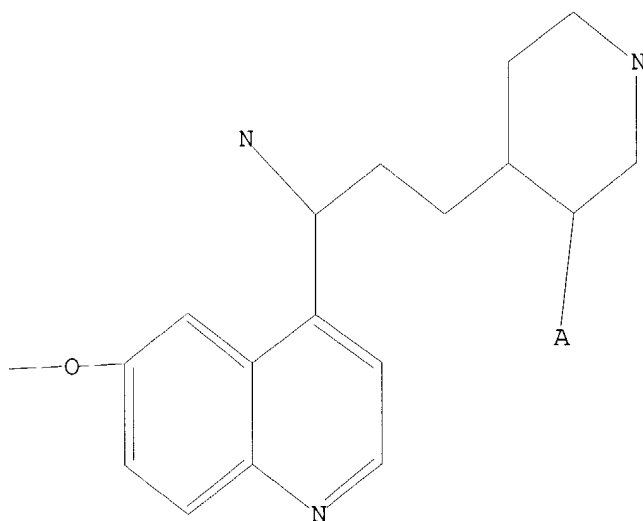
Uploading 5.str

L2 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CO<sub>2</sub>H, COOH

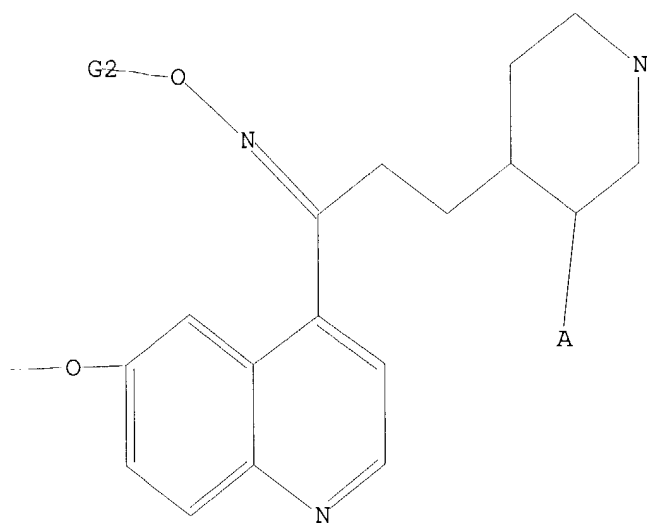
Structure attributes must be viewed using STN Express query preparation.

=> d l2

L2 HAS NO ANSWERS

L2 STR

10/622,655



G1 CO<sub>2</sub>H, COOH

G2 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

L5 17 SEA SSS FUL L1

=> s 12 full

L6 6 SEA SSS FUL L2

=> file ca

=> s 15 or 16

4 L5

4 L6

L7 5 L5 OR L6

=> d ibib abs fhitstr hitrn 1-5

L7 ANSWER 1 OF 5 CA COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 140:235614 CA  
 TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials  
 INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice  
 PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.  
 SOURCE: Fr. Demande, 66 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844270	A1	20040312	FR 2002-11212	20020911
WO 2004024712	A1	20040325	WO 2003-FR2686	20030910

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GE, GR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SI, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004087619 A1 20040506 US 2003-659164 20030910  
 PRIORITY APPLN. INFO.: FR 2002-11212 A 20020911  
 OTHER SOURCE(S): MARPAT 140:235614  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB New 4-(3-(Quinol-4-yl)propyl)piperidine derivs. I are disclosed [wherein R1 = H or F; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPH (which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2)], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxy, carbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxy, carbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyl or alkynyl comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including

L7 ANSWER 2 OF 5 CA COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 140:146015 CA  
 TITLE: Preparation of quinolylpropylpiperidines as antimicrobial agents  
 INVENTOR(S): Bacque, Eric; Malleron, Jean Luc; Mignani, Serge; Tabart, Michel  
 PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.  
 SOURCE: Fr. Demande, 39 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2842807	A1	20040130	FR 2002-9334	20020723
US 2004058919	A1	20040325	US 2003-622655	20030718
WO 2004011454	A2	20040205	WO 2003-FR2306	20030722
WO 2004011454	A3	20040408		

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GE, GR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PH, PL, RO, SC, SG, SK, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2002-9334 A 20020723  
 OTHER SOURCE(S): MARPAT 140:146015  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = alkyl/dialkyl/hydroxy/alkoxy/alkyl alkyloxy/amino; R2 = carboxy, carboxymethyl, hydroxymethyl; R3 = (un)substituted alkyl, propargyl; R4 = alkyl, alkenyl-CH2-, alkynyl-CH2-, cycloalkyl, cycloalkylalkyl; diastereoisomeric forms, mixts. thereof, cis or trans forms, and their salts] were prep. as antimicrobial agents.

Two synthetic examples are given. For example, II was prep. in 7 steps from olefin III by oxidn. with NaMnO4 to the acid concomitant with N-Boc-protection, esterification, followed by BOC deprotection, N-alkylation with propargyl alc., reaction of the resulting alkyne with 1-bromo-2,3,5-trifluorobenzene, oximation, redn. of the oxime, and hydrolysis of the ester. I were active against exptl. infections of mice by Staphylococcus aureus IP8203 at 65 mg/kg s.c., and at 70 mg/kg orally. None of the compds. showed acute toxicity in mice at 100 mg/kg s.c. (2 administrations).

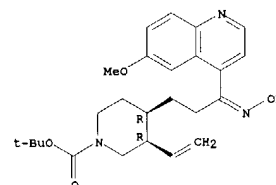
IT 651320-88-6P, (3R,4R)-1-[3-(2,3,5-Trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylic acid  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L7 ANSWER 1 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)  
 enantiomeric and diastereoisomeric forms, mixts. thereof, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Five synthetic examples are given. For example, II was prep. by N-alkylation of III (prepn. given) with 2-[(2-bromoethyl)sulfanyl]-1,4-difluorobenzene, followed by acidic hydrolysis. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT 668463-27-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobials)

RN 668463-27-2 CA  
 1-Piperidinecarboxylic acid, 3-ethenyl-4-[3-(hydroxylimino)-3-(6-methoxy-4-quinolinyl)propyl]-, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



IT 668463-27-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobials)

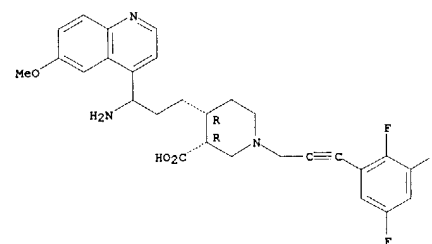
REFERENCE COUNT: 3  
 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 2 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)  
 (antimicrobial agent; prepn. of quinolylpropylpiperidines as antimicrobial agents)

RN 651320-88-6 CA  
 CN 3-Piperidinecarboxylic acid, 4-[3-amino-3-(6-methoxy-4-quinolinyl)propyl]-1-[3-(2,3,5-trifluorophenyl)-2-propynyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 651320-88-6P, (3R,4R)-1-[3-(2,3,5-Trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylic acid 651320-92-2P  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antimicrobial agent; prepn. of quinolylpropylpiperidines as antimicrobial agents)

IT 651320-89-7P, Methyl (3R,4R)-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylate 651320-90-0P 651320-93-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobial agents)

REFERENCE COUNT: 3  
 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

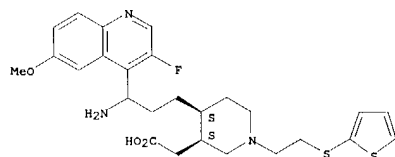
10/622,655

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 137:232568 CA  
 TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials  
 INVENTOR(S): Bacque, Eric; Mignani, Serge; Malleron, Jean-Luc; Tabart, Michel; Evers, Michel; Viviani, Fabrice; El-Ahmad, Youssef; Mutti, Stephane; Daubie, Christophe  
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072572	A1	20020919	WO 2002-FR851	20020311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2822154	A1	20020920	FR 2001-3374	20010313
EP 1370550	A1	20031217	EP 2002-722329	20020311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, IT, LV, FI, RO, MK, CY, AL, TR				
US 2002177606	A1	20021128	US 2002-96482	20020313
US 6602884	B2	20030805	US 2003-387479	20030314
US 2003171369	A1	20030911	FR 2001-3374	A 20010313
PRIORITY APPLN. INFO.: US 2001-281407P P 20010405				
OTHER SOURCE(S): MARPAT 137:232568				
GI				

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)  
 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).  
 IT 459452-88-1P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)  
 RN 459452-88-1 CA  
 CN 3-Piperidineacetic acid, 4-[3-amino-3-(3-fluoro-6-methoxy-4-quinolyl)propyl]-1-[2-(2-thienylthio)ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

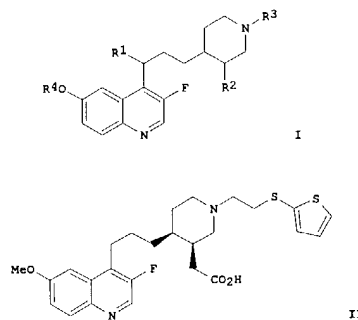
Relative stereochemistry.



IT 459452-88-1P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid 459452-90-5P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetic acid hydrochloride  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)  
 IT 459453-05-5P, (3RS,4RS)-Methyl 4-[3-(R,S)-amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2-thienyl)thio]ethyl]piperidine-3-acetate 459453-06-6P, (3RS,4RS)-Methyl 4-[3-(hydroxylamino)-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2-thienyl)thio]ethyl]piperidine-3-acetate 459453-09-9P, (3RS,4RS)-Methyl 4-[3-(R,S)-amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetate 459453-10-2P, (3RS,4RS)-Methyl 4-[3-(hydroxylamino)-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT  
Page 4

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)



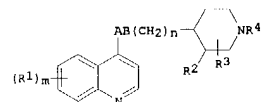
AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxy, carbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxy, carbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2- (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including diastereoisomeric forms, mixts. thereof, cis or trans forms, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Ten synthetic examples are given. For instance, Wittig reaction of 4(RS)-4-allyl-1-(benzyloxy)carbonylpiperidin-3-one with Ph3P:CHCO2Me gave a 2-isomeric exocyclic olefin, which underwent hydroboration at allyl and Pd-catalyzed coupling with 4-iodo-3-fluoro-6-methoxyquinoline, followed by hydrogenation of the olefin with concomitant N-deprotection, N-alkylation with 2-(2-bromoethylthio)thiophene, and sapon. of the Me ester, to give the racemic title compd. II.2HCl. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)

L7 ANSWER 4 OF 5 CA COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 131:129911 CA  
 TITLE: Preparation of piperidinylalkylquinolines as antibacterials.  
 INVENTOR(S): Coates, William John; Gwynn, Michael Norman; Hatton, Ian Keith; Masters, Philip John; Pearson, Neil David; Rahman, Shahzad Sharooq; Slocombe, Brian; Warrack, Julie Dorothy  
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: P1XXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937635	A1	19990729	WO 1999-EP333	19990121
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2318842	AA	19990729	CA 1999-2318842	19990121
AU 9927178	A1	19990809	AU 1999-27178	19990121
EP 1051413	A1	20001115	EP 1999-907388	19990121
EP 1051413	B1	20030604		
R:	BE, CH, DE, ES, FR, GB, IT, LI, NL			
JP 2002501061	T2	20020115	JP 2000-528558	19990121
ES 2201674	T3	20040316	ES 1999-907388	19990121
ZA 9900520	A	20000725	ZA 1999-520	19990125
PRIORITY APPLN. INFO.:			GB 1998-1630	A 19980126
			GB 1998-21072	A 19980929
			WO 1999-EP333	W 19990121

OTHER SOURCE(S): MARPAT 131:129911  
 GI

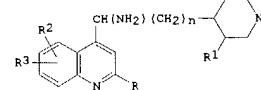


I

AB A method for treatment of bacterial infection comprises administration of title compds. [I; m = 1, 2; n = 0-2; R1 = OH, (substituted) alkoxy, alkoxyalkyl, halo, alkyl, alkylthio, NO2, N3, acyl, acyloxy, acylthio,

L7 ANSWER 5 OF 5 CA COPYRIGHT 2004 ACS ON STN  
 ACCESSION NUMBER: 104:68759 CA  
 TITLE: 1-(4-Quinolyl)-2-(4-piperidyl)ethanamine and 1-(4-quinolyl)-2-(4-piperidyl)propanamine derivatives and medicines containing them  
 INVENTOR(S): Renault, Christian; Mestre, Michel  
 PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.  
 SOURCE: Eur. Pat. Appl., 13 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 155888	A1	19850925	EP 1985-400437	19850307
R:	AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE			
FR 2560877	A1	19850913	FR 1984-3669	19840309
FR 2560877	B1	19860905		
AU 8539556	A1	19850912	AU 1985-39556	19850306
AU 574137	B2	19880630		
ZA 8501699	A	19851030	ZA 1985-1699	19850306
US 4665076	A	19870512	US 1985-709066	19850306
US 4670446	A	19870602	US 1985-709059	19850306
CA 1223595	A1	19870630	CA 1985-475992	19850307
IL 74532	A1	19880331	IL 1985-74532	19850307
DK 8501093	A	19850910	DK 1985-1093	19850308
JP 60204783	A2	19851016	JP 1985-44959	19850308
ES 541108	A1	19851201	ES 1985-541108	19850308
HU 37610	A2	19860123	HU 1985-877	19850308
HU 193257	B	19870828		
PRIORITY APPLN. INFO.:			FR 1984-3669	19840309
OTHER SOURCE(S):			CASREACT 104:68759	
GI				



I

AB The title compds. (I; R = H, alkyl, Ph; R1 = H, alkyl, alkenyl; R2, R3 = H, alkoxy; n = 1, 2) were prepd. Thus, 1-(2-phenyl-4-quinolyl)-2-(4-piperidinyl)ethanone was heated 18 h at 190.degree. with HCO2NH4 and the formamide deriv. refluxed 18 h in 6N HCl to give 1.1 g I.2HCl (R = Ph, R1-R3 = H, n = 1) (II). II is an antiarrhythmic in rats with an ED50 of 0.38 mg/kg i.v., compared to 7.5 mg/kg for quinidine.

IT 100078-86-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and redn. of)  
 RN 100078-86-2 CA

L7 ANSWER 4 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)  
 etc.; R2 = H; R3 = H, (substituted) alkyl, alkenyl; R2R3 = :CR5R6; R5, R6 = H, (substituted) alkyl, alkenyl, arealkyl, aralkenyl; R4 = CH2R51; R51 =

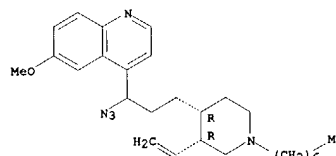
alkyl, hydroxyalkyl, alkoxyalkyl, tetrahydrofuryl, acylaminoalkyl, cyanoalkyl, (substituted) phenylalkyl, etc.; A = NR11, O, S, SO, SO2, CR6R7; B = NR11, O, S, SO, SO2, CR8R9; R6-R9 = H, SH, alkylthio, halo, CF3, N3, alkyl, alkenyl, alkoxy, carbonyl, OH, amino, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxy, carbonyl, alkyl, carbonyl, etc.; with provisos]. Thus, hydroquinidine hydrochloride was refluxed 48 h in aq. HOAc to give (3R,4R)-3-ethyl-4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]piperidine.

The latter was refluxed 7 h with K2CO3 and 1-bromohexane in PhMe to give (3R,4R)-3-ethyl-1-hexyl-4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]piperidine. The latter was stirred with NaBH4 in Me2CHOH at -10.degree. to give (3R,4R)-3-ethyl-1-hexyl-4-[3-(R,S)-hydroxy-3-(6-methoxyquinolin-4-yl)propyl]piperidine. The latter showed MIC = 4 .mu.g/mL against E. coli ESS, vs. >64 .mu.g/mL for vancomycin.

IT 233745-25-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidinylalkylquinolines as antibacterials)  
 RN 233745-25-0 CA  
 CN Quinoline,  
 4-[1-azido-3-[(3R,4R)-3-ethenyl-1-heptyl-4-piperidinyl]propyl]-6-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



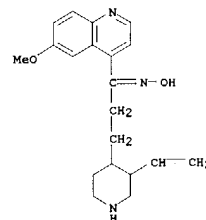
IT 233745-25-0P 233745-26-1P 233745-27-2P  
 233745-29-4P 233745-54-5P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidinylalkylquinolines as antibacterials)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 5 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)  
 CN 1-Propanone, 3-(3-ethenyl-4-piperidinyl)-1-(6-methoxy-4-quinolyl)-, oxime, (3R-cis)- (9CI) (CA INDEX NAME)



IT 100078-86-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and redn. of)

IT 100078-78-2P 100078-79-3P 100078-84-0P  
 100078-85-1P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antiarrhythmic)